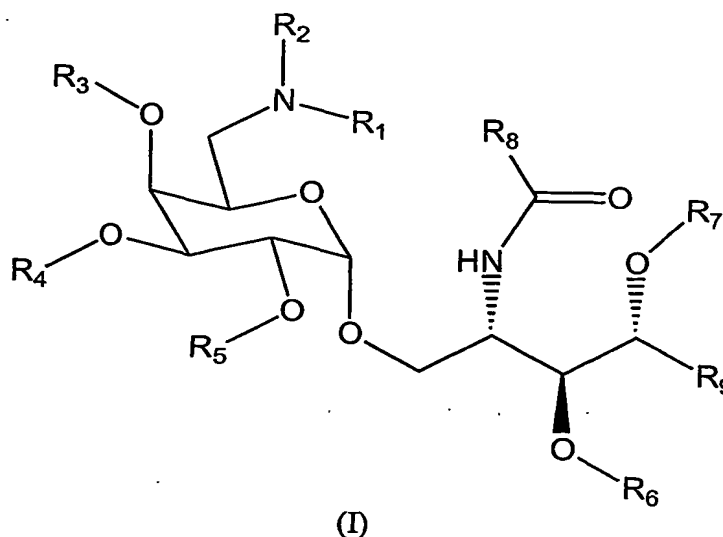


WHAT IS CLAIMED IS:

1. A compound of Formula (I):



wherein,

R₁ is:

(i) hydrogen; or

(ii) -SO₂R₁₀,

wherein R₁₀ is:

halo; hydroxy; OR₁₁; OR₁₂; amino; NHR₁₁; N(R₁₁)₂; NHR₁₂; N(R₁₂)₂;

aralkylamino; or

C₁-C₁₂ alkyl optionally substituted with halo, hydroxy, oxo, nitro, OR₁₁, OR₁₂, acyloxy, amino, NHR₁₁, N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂, NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano, carboxyl, C(O)R₁₁, C(O)R₁₂, C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀ heterocycloalkenyl, C₆-C₂₀ aryl containing 0-3 R₁₄, or heteroaryl containing 0-3 R₁₄; or

C₃-C₁₀ cycloalkyl, C₃-C₁₀ heterocyclyl, C₅-C₁₀ cycloalkenyl, or C₅-C₁₀ heterocycloalkenyl optionally substituted with one or more halo, hydroxy, oxo, OR₁₁, OR₁₂, acyloxy, nitro, amino, NHR₁₁, N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂,
 5 NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano, carboxyl, C(O)R₁₁, C(O)R₁₂, C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, alkyl, haloalkyl, C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀ heterocycloalkenyl, C₆-C₂₀ aryl heteroaryl containing 0-3 R₁₄, or C₆-C₂₀ heteroaryl containing 0-3 R₁₄; or
 10

C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, or heteroaryl optionally substituted with one or more halo, hydroxy, OR₁₁, OR₁₂, acyloxy, nitro, amino, NHR₁₁, N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂, NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano,
 15 carboxyl, C(O)R₁₁, C(O)R₁₂, C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, alkyl, haloalkyl, C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀ heterocycloalkenyl, C₆-C₂₀ aryl containing 0-3 R₁₄, or C₆-C₂₀ heteroaryl containing 0-3 R₁₄; or
 20

(iii) -C(O)R₁₀, wherein R₁₀ is defined as above; or

(iv) -C(R₁₀)₂(R₁₅), wherein R₁₀ is defined as above; R₁₅ is hydrogen, R₁₀, or R₁₅ and R₂ taken together forms a double bond between the carbon and nitrogen atoms to which they are attached; or

(v) R₁ and R₂ taken together forms a heterocyclyl of 3-10 ring atoms
 25 optionally substituted with R₁₀;

R₂ is hydrogen, or R₂ and R₁₅ taken together forms a double bond between the carbon and nitrogen atoms to which they are attached, or R₂ and R₁ taken together forms a heterocyclyl of 3-10 ring atoms optionally substituted with R₁₀;
 30

R₃, R₄, R₅, R₆, and R₇ are each independently hydrogen, C₁-C₆ alkyl, C₆-C₁₂ aralkyl, or C₁-C₆ acyl;

R_8 is $-(CH_2)_xCH_3$;

R_9 is a linear or branched C_3 - C_{100} alkyl;

R_{11} is C_1 - C_{20} alkyl optionally substituted with halo, hydroxy, alkoxy, amino, alkylamino, dialkylamino, sulfate, or phosphate;

R_{12} is aryl optionally substituted with halo, haloalkyl, hydroxy, alkoxy, nitro, amino, alkylamino, dialkylamino, sulfate, or phosphate;

Each R_{13} is independently halo, haloalkyl, hydroxy, alkoxy, oxo, amino, alkylamino, dialkylamino, sulfate, or phosphate;

Each R_{14} is independently halo, haloalkyl, hydroxy, alkoxy, nitro, amino, alkylamino, dialkylamino, sulfate, or phosphate; and

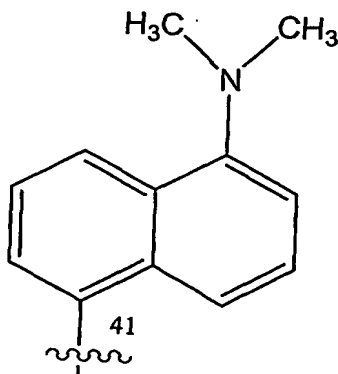
x is 1-100.

2. The compound of claim 1 wherein x is 24 and R_9 is *n*-tetradecyl.

3. The compound of claim 2 wherein R_1 is SO_2R_{10} .

4. The compound of claim 3 wherein R_{10} is aryl substituted with $N(R_{11})_2$;

5. The compound of claim 4 wherein R_{10} is:

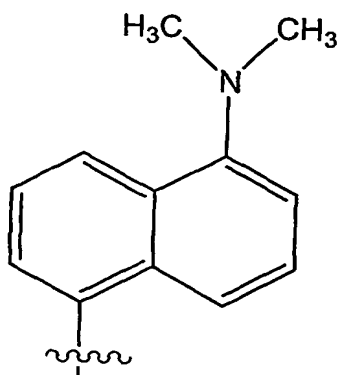


6. The compound of claim 2 wherein R_1 is $C(O)R_{10}$.

5 7. The compound of claim 6 wherein R_{10} is C_1 - C_6 alkyl substituted with halo, hydroxy, oxo, nitro, OR_{11} , OR_{12} , acyloxy, amino, NHR_{11} , $N(R_{11})_2$, NHR_{12} , $N(R_{12})_2$, aralkylamino, mercapto, thioalkoxy, $S(O)R_{11}$, $S(O)R_{12}$, SO_2R_{11} , SO_2R_{12} , $NHSO_2R_{11}$, $NHSO_2R_{12}$, sulfate, phosphate, cyano, carboxyl, $C(O)R_{11}$, $C(O)R_{12}$, $C(O)OR_{11}$, $C(O)NH_2$, $C(O)NHR_{11}$, $C(O)N(R_{11})_2$, C_3 - C_{10} cycloalkyl containing 0-3 R_{13} , C_3 - C_{10} heterocyclyl containing 0-3 R_{13} , C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_5 - C_{10} cycloalkenyl, C_5 - C_{10} heterocycloalkenyl, C_6 - C_{20} aryl containing 0-3 R_{14} , or C_6 - C_{20} heteroaryl containing 0-3 R_{14} ;

8. The compound of claim 7 wherein R_{10} is C_1 - C_6 alkyl substituted with
15 $NHSO_2R_{12}$.

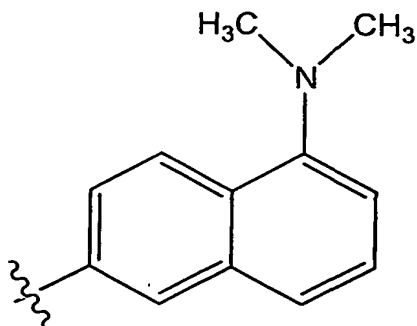
9. The compound of claim 8 wherein R_{12} is:



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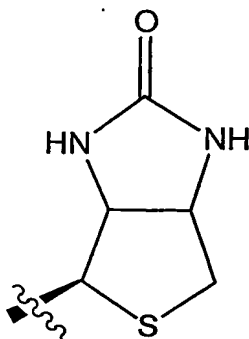
10. The compound of claim 7, wherein R_{10} is alkyl substituted with $C(O)R_{12}$.

11. The compound of claim 10 wherein R_{12} is:



12. The compound of claim 7 wherein R_{10} is alkyl is substituted with C_5 -
5 C_{10} heterocyclyl containing 0-3 R_{13} .

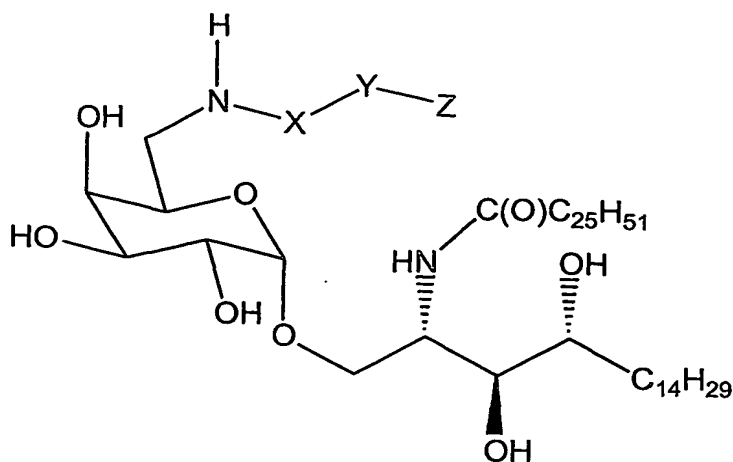
13. The compound of claim 12 wherein the heterocyclyl is:



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14. A probe for observing glycolipid association with CD1d and NKT cell
receptors during NKT cell stimulation comprising a compound of Formula (II):



wherein:

X is $-\text{SO}_2-$, $-\text{C}(\text{O})-$, or absent;

Y is a linker group; and

Z is a reporter group.

15. A method of quantifying glycolipid association with CD1d and NKT cell receptors during NKT cell stimulation comprising: (i) contacting a compound of Formula (II) with a CD1d protein; (ii) allowing the compound to associate with the CD1d protein; (iii) measuring fluorescence emitted by the compound during steps (i) and (ii) to provide one or more pre-NKT cell contact fluorescence measurements; (iv) contacting the compound and CD1d protein with an NKT cell line; (v) measuring fluorescence emitted by the compound during step (iv) to provide one or more NKT cell contact fluorescence measurements.

16. The method of claim 15 wherein step (v) is repeated over time.

17. The method of claim 15 further comprising the step of comparing the fluorescence measurements in steps (iii) and (v).

18. A method of stimulating NKT cells comprising contacting an NKT cell with a compound of Formula (I) and a CD1 protein.

19. The method of claim 18 wherein the protein is CD1d.

20. A method of stimulating the immune system of a subject in need of
5 such stimulation, the method comprising administering a compound of Formula (I) to
the subject.

21. A method of treating an autoimmune disease in a subject in need of
such treatment, the method comprising administering an effective amount of a
10 compound of Formula (I).

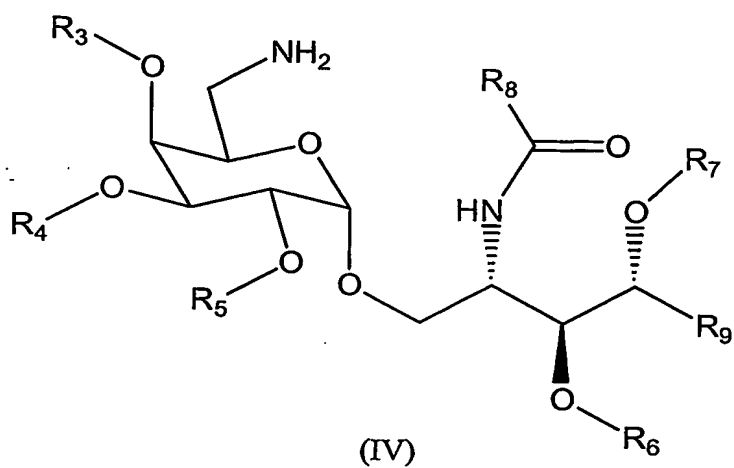
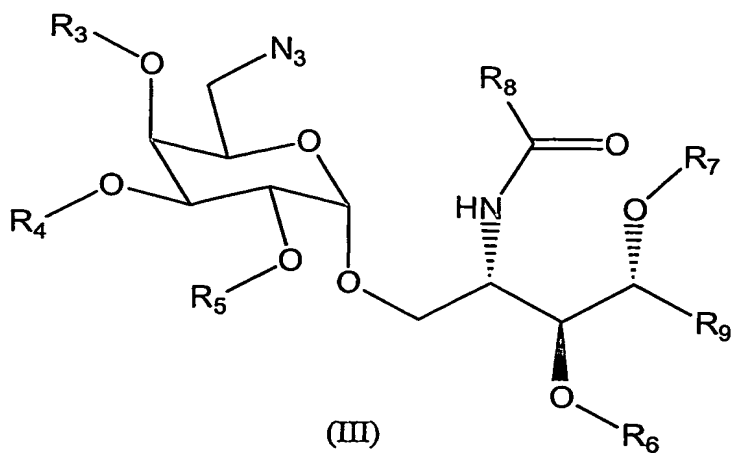
22. The method of claim 20 or 21 wherein the subject is a mammal.

23. The method of claim 22 wherein the subject is a human.
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30 24. A method of making a compound of Formula (I) comprising: (i)
converting a compound of Formula (III) to a compound of Formula (IV):



and (ii) contacting a compound of Formula (IV) with R_1 -LG to afford a
 5 compound of Formula (I), wherein:

R_1 is:

(i) $-\text{SO}_2\text{R}_{10}$,

wherein R_{10} is:

10 halo; hydroxy; OR_{11} ; OR_{12} ; amino; NHR_{11} ; $\text{N}(\text{R}_{11})_2$; NHR_{12} ; $\text{N}(\text{R}_{12})_2$;
 aralkylamino; or

C₁-C₁₂ alkyl optionally substituted with halo, hydroxy, oxo, nitro, OR₁₁, OR₁₂, acyloxy, amino, NHR₁₁, N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂, NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano, carboxyl, C(O)R₁₁, C(O)R₁₂,
 5 C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀ heterocycloalkenyl, C₆-C₂₀ aryl containing 0-3 R₁₄, or C₆-C₂₀ heteroaryl containing 0-3 R₁₄; or

C₃-C₁₀ cycloalkyl, C₃-C₁₀ heterocyclyl, C₅-C₁₀ cycloalkenyl, or C₅-C₁₀
 10 heterocycloalkenyl optionally substituted with one or more halo, hydroxy, oxo, OR₁₁, OR₁₂, acyloxy, nitro, amino, NHR₁₁, N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂, NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano, carboxyl, C(O)R₁₁, C(O)R₁₂, C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, alkyl, haloalkyl,
 15 C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀ heterocycloalkenyl, C₆-C₂₀ aryl containing 0-3 R₁₄, or C₆-C₂₀ heteroaryl containing 0-3 R₁₄; or

C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, or heteroaryl optionally substituted
 with one or more halo, hydroxy, OR₁₁, OR₁₂, acyloxy, nitro, amino, NHR₁₁,
 20 N(R₁₁)₂, NHR₁₂, N(R₁₂)₂, aralkylamino, mercapto, thioalkoxy, S(O)R₁₁, S(O)R₁₂, SO₂R₁₁, SO₂R₁₂, NHSO₂R₁₁, NHSO₂R₁₂, sulfate, phosphate, cyano, carboxyl, C(O)R₁₁, C(O)R₁₂, C(O)OR₁₁, C(O)NH₂, C(O)NHR₁₁, C(O)N(R₁₁)₂, alkyl, haloalkyl, C₃-C₁₀ cycloalkyl containing 0-3 R₁₃, C₃-C₁₀ heterocyclyl containing 0-3 R₁₃, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₅-C₁₀ cycloalkenyl, C₅-C₁₀
 25 heterocycloalkenyl, C₆-C₂₀ aryl containing 0-3 R₁₄, or C₆-C₂₀ heteroaryl containing 0-3 R₁₄; or

(ii) -C(O)R₁₀, wherein R₁₀ is defined as above; or

(iii) -C(R₁₀)₂(R₁₅), wherein R₁₀ is defined as above; R₁₅ is hydrogen,
 R₁₀, or R₁₅ and R₂ taken together forms a double bond between the carbon and
 30 nitrogen atoms to which they are attached; or

R₃, R₄, R₅, R₆, and R₇ are each independently hydrogen, C₁-C₆ alkyl, C₆-C₁₂ aralkyl, or C₁-C₆ acyl;

R₈ is $-(CH_2)_xCH_3$;

5

R₉ is a linear or branched C₃-C₁₀₀ alkyl;

R₁₁ is C₁-C₂₀ alkyl optionally substituted with halo, hydroxy, alkoxy, amino, alkylamino, dialkylamino, sulfate, or phosphate;

10

R₁₂ is aryl optionally substituted with halo, haloalkyl, hydroxy, alkoxy, nitro, amino, alkylamino, dialkylamino, sulfate, or phosphate;

Each R₁₃ is independently halo, haloalkyl, hydroxy, alkoxy, oxo, amino, alkylamino, dialkylamino, sulfate, or phosphate;

15

Each R₁₄ is independently halo, haloalkyl, hydroxy, alkoxy, nitro, amino, alkylamino, dialkylamino, sulfate, or phosphate;

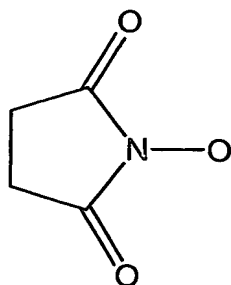
20

x is 1-100;

LG is halo, $-OSO_2R_{16}$, B(OH)₂, or

25

30



; and

5 R_{16} is alkyl, haloalkyl or aryl optionally substituted with alkyl, halo or nitro.

25. A pharmaceutical composition comprising a compound of Formula (I) and a pharmaceutically acceptable carrier.